

Barb  
Only

NOTE: Perform this search along w/ 09/583,2.

# SEARCH REQUEST FORM

Access DB#

Scientific and Technical Information Center

64579

Requester's Full Name: Dumaine C. Jones Examiner #: 71299-0110 Date: 16 APR 02  
Art Unit: 1114 Phone Number 301-1634 Serial Number: 091580287  
Mail Box and Bldg/Room Location: 2007, CM1 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: see attached sheet

Inventors (please provide full names): 11

Earliest Priority Filing Date: 11

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

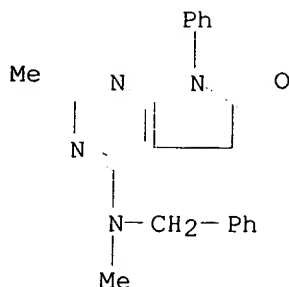
Please search the compound of  
claim 1 and the elected species  
of butyl-[2,5-dimethyl-7-(2,4,6-trimethylphenyl)-  
-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-  
-ethylamine

Point of Contact:  
Barb O'Brien  
Technical Information Specialist  
STIC CM1 6A05 308-4291

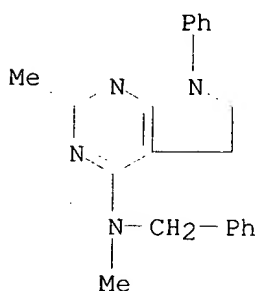
→ these compounds are corticotropin releasing factor  
antagonists (CRF)  
→ treats central nervous system disorders.

## STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>BOB</u>	NA Sequence (#) _____	STN <u>322</u>
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) <u>3</u>	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed: <u>4-25-02</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: <u>50</u>	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: <u>35</u>	Other _____	Other (specify) _____

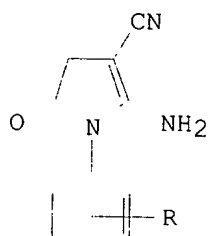


RN 142228-55-5 CAPLUS  
 CN 5H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6,7-dihydro-N,2-dimethyl-7-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

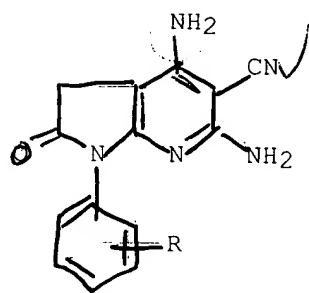


L32 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1990:35716 CAPLUS  
 DOCUMENT NUMBER: 112:35716  
 TITLE: Synthesis and reactions of 2-amino-1-aryl-5-oxo-  
 .DELTA.2-pyrroline-3-carbonitriles  
 AUTHOR(S): Schaefer, Harry; Gewald, Karl  
 CORPORATE SOURCE: Sekt. Chem., Tech. Univ. Dresden, Dresden, DDR-8027,  
 Ger. Dem. Rep.  
 SOURCE: Monatsh. Chem. (1989), 120(4), 315-22  
 CODEN: MOCMB7; ISSN: 0026-9247  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 112:35716  
 GI

103 handy CH<sub>3</sub> vs H  
 ✓ 1/2  
 ✓  
 N(CH<sub>3</sub>)H



II



III

AB Cyclocondensation reaction of CH<sub>2</sub>(CN)<sub>2</sub> with RC<sub>6</sub>H<sub>4</sub>NHCOCH<sub>2</sub>Cl (I; R = H, 4-Me, 4-MeO, 4-Cl) in EtOH contg. K<sub>2</sub>CO<sub>3</sub> yields title compds. II (same R), whereas 4,6-diamino-1-aryl-2-oxo-2,3-dihydropyrrolo[2,3-b]pyridin-5-

carbonitriles III are formed in the presence of Et<sub>3</sub>N.

5-Amino-1-oxo-1,2-dihydropyrrolo[1,2-a]quinazolin-3-carbonitrile arises from I (R = 2-cyano) and CH<sub>2</sub>(CN)<sub>2</sub>. 7,8-Dihydrothieno[3,2-e]pyrrolo[1,2-a]pyrimidine derivs. are obtained analogously from 2-(chloroacetamido)thiophene-3-carbonitriles. Hydrolysis of II (R = H) with hydroxide or acid yields PhNHCOCH(CO<sub>2</sub>H)CH<sub>2</sub>CO<sub>2</sub>H and PhNHC(:NH)CH(CONH<sub>2</sub>)CH<sub>2</sub>CO<sub>2</sub>H, resp. 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>N<sub>2</sub><sup>+</sup> Cl<sup>-</sup> reacts with II (R = H) to form the triazene only.

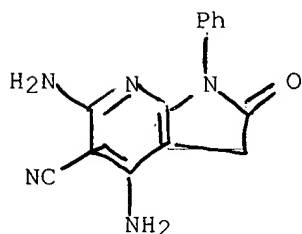
IT 124476-81-9P 124476-82-0P 124476-83-1P

124476-84-2P 124476-85-3P 124476-86-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

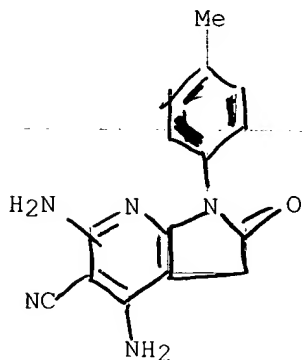
RN 124476-81-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-2-oxo-1-phenyl- (9CI) (CA INDEX NAME)



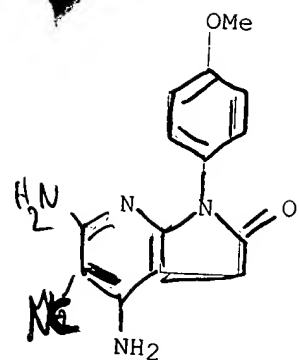
RN 124476-82-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-methylphenyl)-2-oxo- (9CI) (CA INDEX NAME)

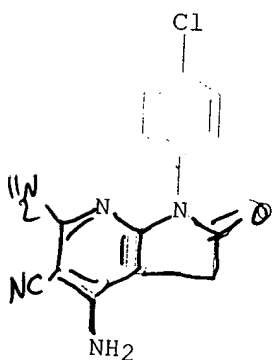


RN 124476-83-1 CAPLUS

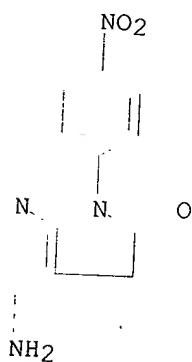
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-methoxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)



124476-84-2 CAPLUS

1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(4-chlorophenyl)-  
2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

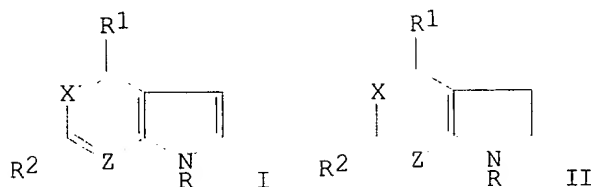
124476-85-3 CAPLUS

1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-  
nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)

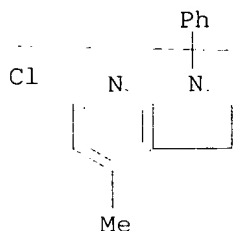
124476-86-4 CAPLUS

1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(2-cyanophenyl)-  
2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 91:5132  
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide  
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR  
SOURCE: Khim. Geterotsikl. Soedin. (1979), (3), 375-8  
CODEN: KGSSAQ; ISSN: 0453-8234  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI



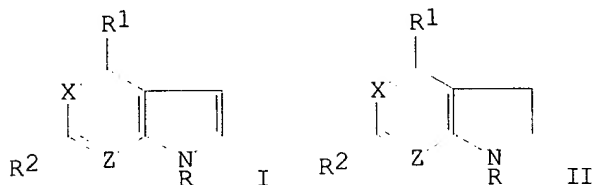
AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH<sub>2</sub>; R<sub>1</sub> = Me, H, R<sub>2</sub> = Cl, OH, H, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O) were prepd. by dehydrogenation of the corresponding II with activated MnO<sub>2</sub>. Oxidn.-redn. potentials were detd.  
IT 5912-20-9  
RL: RCT (Reactant)  
(dehydrogenation of, by activated manganese dioxide)  
RN 5912-20-9 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1980:6855 CAPLUS  
DOCUMENT NUMBER: 92:6855  
TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1- $\alpha$ -L-arabinopyranosides of substituted indoles and 7-azaindoles  
AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.  
CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR  
SOURCE: Khim.-Farm. Zh. (1979), 13(6), 47-57  
CODEN: KHFZAN; ISSN: 0023-1134  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

DOCUMENT NUMBER: 91:5132  
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide  
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR  
SOURCE: Khim. Geterotsikl. Soedin. (1979), (3), 375-8  
CODEN: KGSSAQ; ISSN: 0453-8234  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI



AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH<sub>2</sub>; R<sub>1</sub> = Me, H, R<sub>2</sub> = Cl, OH, H, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O) were prep'd. by dehydrogenation of the corresponding II with activated MnO<sub>2</sub>. Oxidn.-redn. potentials were detd.

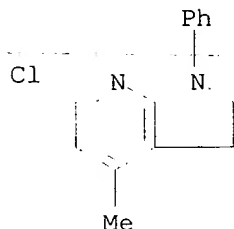
IT 5912-20-9

RL: RCT (Reactant)

(dehydrogenation of, by activated manganese dioxide)

RN 5912-20-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1980:6855 CAPLUS

DOCUMENT NUMBER: 92:6855

TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1-.alpha.-L-arabinopyranosides of substituted indoles and 7-azaindoles

AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.

CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR  
SOURCE: Khim.-Farm. Zh. (1979), 13(6), 47-57

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI

The Examiner also stated that the methods of use of Group III are different from the methods of Group II. The Examiner furthermore stated that Group IV is supposedly separate and distinct from Groups II and III because the methods of Groups II and III can allegedly be practiced with another materially different product, for example the compounds of Group I.

In response, applicant elects the claims of Group I, claims 1-15, directed to compounds and compositions. Applicant traverses the restriction between the claims of Groups I and II. Applicant's traversal is because the U.S. Patent Office most typically permits an applicant to claim a method of use along with compounds in a single application.

The Examiner also stated that claims 1 and 2 are generic to a plurality of disclosed, supposedly patently distinct species. The Examiner required that applicant elect a single disclosed species. Furthermore, the Examiner asserted that claims 14-18 are generic to a plurality of disclosed, supposedly patently distinct species of disorders and required that applicant elect a single disclosed disorder.

The Examiner's remarks with regard to the species encompassed by claims 21 and 27 are rendered moot in light of applicant's election of Group I.

In response, applicant elects, with traverse, the title compound of Example 20, pages 55-56, of the subject application, namely butyl-[2,5-dimethyl-7-(2,4,6-trimethylphenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-ethylamine, and applicant elects the disorder "depression", with traverse. Applicant traverses the requirement to elect a single species because applicant should not be required to restrict the subject application to a single compound and a single disorder. Rather, applicant submits that the Examiner should follow the practice set forth in the MPEP whereby an Examiner examines further species should the elected species be deemed allowable.

Should a telephone interview be of assistance in advancing the prosecution of the subject application, the Examiner is kindly invited to telephone applicant's undersigned attorney at the number provided.



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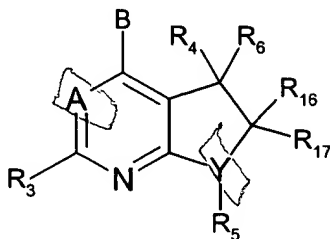
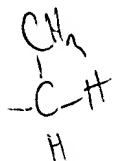
Bib Data Sheet

<b>SERIAL NUMBER</b> 09/580,287	<b>FILING DATE</b> 05/30/2000 <b>RULE</b> -	<b>CLASS</b> 514	<b>GROUP ART UNIT</b> 1614	<b>ATTORNEY DOCKET NO.</b> PC8605D
<b>APPLICANTS</b> Yuhpyng L. Chen, Waterford, CT ;				
<b>** CONTINUING DATA *****</b> THIS APPLICATION IS A CIP OF 03/741,066 10/30/1996 AND A CIP OF 09/254,387 03/04/1999.				
<b>** FOREIGN APPLICATIONS *****</b>				
<b>IF REQUIRED, FOREIGN FILING LICENSE GRANTED ** 07/24/2000</b>				
Foreign Priority claimed <input type="checkbox"/> yes <input type="checkbox"/> no 35 USC 119 (a-d) conditions <input type="checkbox"/> yes <input type="checkbox"/> no <input type="checkbox"/> Met after met Allowance Verified and Acknowledged Examiner's Signature Initials		<b>STATE OR COUNTRY</b> CT	<b>SHEETS DRAWING</b> -	<b>TOTAL CLAIMS</b> 29 <b>INDEPENDENT CLAIMS</b> 4
<b>ADDRESS</b> 23913				
<b>TITLE</b> Corticotropin releasing factor antagonists				
<b>FILING FEE RECEIVED</b> 1060	FEES: Authority has been given in Paper No. _____ to charge/credit DEPOSIT ACCOUNT No. _____ for following:		<input type="checkbox"/> All Fees <input type="checkbox"/> 1.16 Fees ( Filing ) <input type="checkbox"/> 1.17 Fees ( Processing Ext. of time ) <input type="checkbox"/> 1.18 Fees ( Issue ) <input type="checkbox"/> Other _____ <input type="checkbox"/> Credit	



CLAIMS

1. A compound of the formula



II

5

or a pharmaceutically acceptable salt thereof, wherein

A is  $-\text{CR}_7$  or N;

B is  $-\text{NR}_1\text{R}_2$ ,  $-\text{CR}_1\text{R}_2\text{R}_{11}$ ,  $-\text{C}(=\text{CR}_2\text{R}_{12})\text{R}_1$ ,  $-\text{NHCHR}_1\text{R}_2$ ,  $-\text{OCHR}_1\text{R}_2$ ,  $-\text{SCHR}_1\text{R}_2$ ,  $-\text{CHR}_2\text{OR}_1$ ,  $-\text{CHR}_1\text{OR}_2$ ,  $-\text{CHR}_2\text{SR}_1$ ,  $-\text{C}(\text{S})\text{R}_2$ ,  $-\text{C}(\text{O})\text{R}_2$ ,  $-\text{CHR}_2\text{NR}_1\text{R}_2$ ,  $-\text{CHR}_1\text{NHR}_2$ ,  $-\text{CHR}_1\text{N}(\text{CH}_3)\text{R}_2$ , or  $-\text{NR}_{12}\text{NR}_1\text{R}_2$ ;

Y is CH or N;

Z is NH, O, S,  $-\text{N}(\text{C}_1\text{-C}_2 \text{ alkyl})$ ,  $-\text{NC}(\text{O})\text{CE}_3$ , or  $-\text{C}(\text{R}_{13}\text{R}_{14})$ , wherein  $\text{R}_{13}$  and  $\text{R}_{14}$  are each, independently, hydrogen, trifluoromethyl or methyl, or one of  $\text{R}_{13}$  and  $\text{R}_{14}$  is cyano and the other is hydrogen or methyl, or  $-\text{C}(\text{R}_{13}\text{R}_{14})$  is a cyclopropyl group, or Z is nitrogen or CH and forms a five or six membered heterocyclic ring fused with  $\text{R}_5$ , which ring optionally comprises two or three further hetero members selected independently from oxygen, nitrogen,  $\text{NR}_{12}$ , and  $\text{S}(\text{O})_m$ , and optionally comprises from one to three double bonds, and is optionally substituted with halo,  $\text{C}_1\text{-C}_4$  alkyl,  $-\text{O}(\text{C}_1\text{-C}_4 \text{ alkyl})$ ,  $\text{NH}_2$ ,  $\text{NHCH}_3$ ,  $\text{N}(\text{CH}_3)_2$ ,  $\text{CF}_3$ , or  $\text{OCF}_3$ , with the proviso that said ring does not contain any  $-\text{S}-\text{S}-$ ,  $-\text{S}-\text{O}-$ ,  $-\text{N}-\text{S}-$ , or  $-\text{O}-\text{O}-$  bonds, and does not comprise more than two oxygen or  $\text{S}(\text{O})_m$  heterologous members;

$\text{R}_1$  is  $\text{C}(\text{O})\text{H}$ ,  $\text{C}(\text{O})(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,  $\text{C}(\text{O})(\text{C}_1\text{-C}_6 \text{ alkylene})(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$ ,  $\text{C}(\text{O})(\text{C}_3\text{-C}_8 \text{ cycloalkylene})(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$ ,  $\text{C}(\text{O})(\text{C}_1\text{-C}_6 \text{ alkylene})(\text{C}_4\text{-C}_8 \text{ heterocycloalkyl})$ ,  $-\text{C}(\text{O})(\text{C}_3\text{-C}_8 \text{ cycloalkylene})(\text{C}_4\text{-C}_8 \text{ heterocycloalkyl})$ ,  $\text{C}_1\text{-C}_6 \text{ alkyl}$ ,  $\text{C}_3\text{-C}_8 \text{ cycloalkyl}$ ,  $\text{C}_4\text{-C}_8 \text{ heterocycloalkyl}$ ,  $-(\text{C}_1\text{-C}_6 \text{ alkylene})(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$ ,  $-(\text{C}_3\text{-C}_8 \text{ cycloalkylene})(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$ ,  $-(\text{C}_1\text{-C}_6 \text{ alkylene})(\text{C}_4\text{-C}_8 \text{ heterocycloalkyl})$ ,  $-(\text{C}_3\text{-C}_8 \text{ cycloalkylene})(\text{C}_4\text{-C}_8 \text{ heterocycloalkyl})$ , or  $-\text{O}-\text{aryl}$ , or  $-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkylene})\text{-aryl}$ ; wherein said aryl,  $\text{C}_4\text{-C}_8 \text{ heterocycloalkyl}$ ,  $\text{C}_1\text{-C}_6 \text{ alkyl}$ ,  $\text{C}_3\text{-C}_8 \text{ cycloalkyl}$ ,  $\text{C}_3\text{-C}_8 \text{ cycloalkylene}$ , and  $\text{C}_1\text{-C}_6 \text{ alkylene}$  groups may each independently be optionally substituted with from one to six fluoro and may each independently be optionally substituted with one or two substituents  $\text{R}_8$  independently selected from the group consisting of  $\text{C}_1\text{-C}_4 \text{ alkyl}$ ,  $-\text{C}_3\text{-C}_8 \text{ cycloalkyl}$ , hydroxy, chloro, bromo, iodo,  $\text{CF}_3$ ,  $-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,  $-\text{O}-(\text{C}_3\text{-C}_5 \text{ cycloalkyl})$ ,  $-\text{O}-\text{CO}-(\text{C}_1\text{-C}_4 \text{ alkyl})$ ,  $-\text{O}-\text{CO}-\text{NH}(\text{C}_1\text{-C}_4 \text{ alkyl})$ ,  $-\text{O}-\text{CO}-\text{N}(\text{R}_{24})(\text{R}_{25})$ ,  $-\text{N}(\text{R}_{24})(\text{R}_{25})$ ,  $-\text{S}(\text{C}_1\text{-C}_4 \text{ alkyl})$ ,  $-\text{S}(\text{C}_3\text{-C}_5 \text{ cycloalkyl})$ ,

-N(C<sub>1</sub>-C<sub>4</sub>alkyl)CO(C<sub>1</sub>-C<sub>4</sub> alkyl), -NHCO(C<sub>1</sub>-C<sub>4</sub> alkyl), -COO(C<sub>1</sub>-C<sub>4</sub> alkyl), -CONH(C<sub>1</sub>-C<sub>4</sub> alkyl), -CON(C<sub>1</sub>-C<sub>4</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl), CN, NO<sub>2</sub>, -OSO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), S<sup>+</sup>(C<sub>1</sub>-C<sub>6</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl)I<sup>-</sup>, -SO(C<sub>1</sub>-C<sub>4</sub> alkyl) and -SO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl); and wherein the C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylene, C<sub>5</sub>-C<sub>8</sub> cycloalkyl, C<sub>5</sub>-C<sub>8</sub> cycloalkylene, and C<sub>5</sub>-C<sub>8</sub> heterocycloalkyl moieties of R<sub>1</sub> may optionally independently contain from one to three double or triple bonds; and wherein the C<sub>1</sub>-C<sub>4</sub> alkyl moieties and C<sub>1</sub>-C<sub>6</sub> alkyl moieties of R<sub>8</sub> can optionally independently be substituted with hydroxy, amino, C<sub>1</sub>-C<sub>4</sub> alkyl, aryl, -CH<sub>2</sub>-aryl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, or -O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and can optionally independently be substituted with from one to six fluoro, and can optionally contain one or two double or triple bonds; and wherein each heterocycloalkyl group of R<sub>1</sub> contains from one to three heteromoieties selected from oxygen, S(O)<sub>m</sub>, nitrogen, and NR<sub>12</sub>;

R<sub>2</sub> is hydrogen, C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl, -(C<sub>1</sub>-C<sub>6</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>1</sub>-C<sub>6</sub> alkylene)(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), aryl, -(C<sub>1</sub>-C<sub>6</sub> alkylene)aryl, or -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(aryl); wherein each of the foregoing R<sub>2</sub> groups may optionally be substituted with from one to three substituents independently selected from chloro, fluoro, and C<sub>1</sub>-C<sub>6</sub> alkyl, wherein one of said one to three substituents can further be selected from bromo, iodo, C<sub>1</sub>-C<sub>6</sub> alkoxy, -OH, -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -O-CO-N(C<sub>1</sub>-C<sub>4</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl), -S(C<sub>1</sub>-C<sub>6</sub> alkyl), -S(O)(C<sub>1</sub>-C<sub>6</sub> alkyl), -S(O)<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), S<sup>+</sup>(C<sub>1</sub>-C<sub>6</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl)I<sup>-</sup>, CN, and NO<sub>2</sub>; and wherein the C<sub>1</sub>-C<sub>12</sub> alkyl, -(C<sub>1</sub>-C<sub>6</sub> alkylene), -(C<sub>5</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>5</sub>-C<sub>8</sub> cycloalkylene), and -(C<sub>5</sub>-C<sub>8</sub> heterocycloalkyl) moieties of R<sub>2</sub> may optionally independently contain from one to three double or triple bonds; and wherein each heterocycloalkyl group of R<sub>2</sub> contains from one to three heteromoieties selected from oxygen, S(O)<sub>m</sub>, nitrogen, and NR<sub>12</sub>;

or when R<sub>1</sub> and R<sub>2</sub> are as in -NHCHR<sub>1</sub>R<sub>2</sub>, -OCHR<sub>1</sub>R<sub>2</sub>, -SCHR<sub>1</sub>R<sub>2</sub>, -CHR<sub>1</sub>R<sub>2</sub> or -NR<sub>1</sub>R<sub>2</sub>, R<sub>1</sub> and R<sub>2</sub> of B may form a saturated 5- to 8-membered ring which may optionally contain one or two double bonds and in which one or two of the ring carbons may optionally be replaced by an oxygen, S(O)<sub>m</sub>, nitrogen or NR<sub>12</sub>; and which carbocyclic ring can optionally be substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, C<sub>1</sub>-C<sub>4</sub> alkyl, fluoro, chloro, bromo, iodo, CF<sub>3</sub>, -O-(C<sub>1</sub>-C<sub>4</sub> alkyl), -O-CO-(C<sub>1</sub>-C<sub>4</sub> alkyl), -O-CO-NH(C<sub>1</sub>-C<sub>4</sub> alkyl), -O-CO-N(C<sub>1</sub>-C<sub>4</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl), -NH(C<sub>1</sub>-C<sub>4</sub> alkyl), -N(C<sub>1</sub>-C<sub>2</sub> alkyl)(C<sub>1</sub>-C<sub>4</sub> alkyl), -S(C<sub>1</sub>-C<sub>4</sub> alkyl), -N(C<sub>1</sub>-C<sub>4</sub> alkyl)CO(C<sub>1</sub>-C<sub>4</sub> alkyl), -NHCO(C<sub>1</sub>-C<sub>4</sub> alkyl), -COO(C<sub>1</sub>-C<sub>4</sub> alkyl), -CONH(C<sub>1</sub>-C<sub>4</sub> alkyl), -CON(C<sub>1</sub>-C<sub>4</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl), CN, NO<sub>2</sub>, -OSO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), -SO(C<sub>1</sub>-C<sub>4</sub> alkyl), and -SO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), wherein one of said one to three substituents can further be selected from phenyl;

R<sub>3</sub> is methyl, ethyl, fluoro, chloro, bromo, iodo, cyano, methoxy, OCF<sub>3</sub>, NH<sub>2</sub>, NH(C<sub>1</sub>-C<sub>2</sub> alkyl), N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCF<sub>3</sub>, -NHCH<sub>2</sub>CF<sub>3</sub>, S(O)<sub>m</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), CONH<sub>2</sub>, -CONHCH<sub>3</sub>, CON(CH<sub>3</sub>)<sub>2</sub>, -CF<sub>3</sub>, or CH<sub>2</sub>OCH<sub>3</sub>;

R<sub>4</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>5</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>5</sub> cycloalkylene)(C<sub>3</sub>-C<sub>5</sub> cycloalkyl), cyano, fluoro, chloro, bromo, iodo, -OR<sub>24</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-(C<sub>3</sub>-

C<sub>5</sub> cycloalkyl), -O-(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>5</sub> cycloalkyl), -O-(C<sub>3</sub>-C<sub>5</sub> cycloalkylene)(C<sub>3</sub>-C<sub>5</sub> cycloalkyl), -CH<sub>2</sub>SC(S)O(C<sub>1</sub>-C<sub>4</sub> alkyl), -CH<sub>2</sub>OF<sub>3</sub>, CF<sub>3</sub>, amino, nitro, -NR<sub>24</sub>R<sub>25</sub>, -(C<sub>1</sub>-C<sub>4</sub> alkylene)-OR<sub>24</sub>, -(C<sub>1</sub>-C<sub>4</sub> alkylene)Cl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)NR<sub>24</sub>R<sub>25</sub>, -NHCOR<sub>24</sub>, -NHCONR<sub>24</sub>R<sub>25</sub>, -C=NOR<sub>24</sub>, -NHNOR<sub>24</sub>R<sub>25</sub>, -S(O)<sub>m</sub>R<sub>24</sub>, -C(O)R<sub>24</sub>, -OC(O)R<sub>24</sub>, -C(O)CN, -C(O)NR<sub>24</sub>R<sub>25</sub>, -C(O)NHNOR<sub>24</sub>R<sub>25</sub>, and -COOR<sub>24</sub>,

- 5 wherein the alkyl and alkylene groups of R<sub>4</sub> may optionally independently contain one or two double or triple bonds and may optionally independently be substituted with one or two substituents (R<sub>10</sub>) independently selected from hydroxy, amino, -NHCOCH<sub>3</sub>, -NHCOCH<sub>2</sub>Cl, -NH(C<sub>1</sub>-C<sub>2</sub> alkyl), -N(C<sub>1</sub>-C<sub>2</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl), -COO(C<sub>1</sub>-C<sub>4</sub> alkyl), -COOH, -CO(C<sub>1</sub>-C<sub>4</sub> alkyl), C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> thioalkyl, cyano and nitro, and with one to four substituents independently selected from fluoro and chloro;

- R<sub>5</sub> is aryl or heteroaryl and is substituted with from one to four substituents (R<sub>27</sub>) independently selected from halo, C<sub>1</sub>-C<sub>10</sub> alkyl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, nitro, cyano, -NR<sub>24</sub>R<sub>25</sub>, -NR<sub>24</sub>COR<sub>25</sub>, -NR<sub>24</sub>CO<sub>2</sub>R<sub>26</sub>, -COR<sub>24</sub>, -OR<sub>25</sub>, -CONR<sub>24</sub>R<sub>25</sub>, -CONOR<sub>22</sub>R<sub>23</sub>, -CO<sub>2</sub>R<sub>26</sub>, -C=N(OR<sub>22</sub>)R<sub>23</sub>, and -S(O)<sub>m</sub>R<sub>23</sub>; wherein said C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, (C<sub>1</sub>-C<sub>4</sub> alkylene), (C<sub>3</sub>-C<sub>8</sub> cycloalkyl), (C<sub>3</sub>-C<sub>8</sub> cycloalkylene), and (C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl) groups can be optionally substituted with from one to three substituents independently selected from C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, (C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), C<sub>1</sub>-C<sub>4</sub> haloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, nitro halo, cyano, -NR<sub>24</sub>R<sub>25</sub>, -NR<sub>24</sub>COR<sub>25</sub>, -NR<sub>24</sub>CO<sub>2</sub>R<sub>26</sub>, -COR<sub>24</sub>, -OR<sub>25</sub>, -CONR<sub>24</sub>R<sub>25</sub>, CO<sub>2</sub>R<sub>26</sub>, -CO(NOR<sub>22</sub>)R<sub>25</sub>, and -S(O)<sub>m</sub>R<sub>23</sub>; and wherein two adjacent substituents of the R<sub>5</sub> group can optionally form a 5-7 membered ring, saturated or unsaturated, fused to R<sub>5</sub>, which ring optionally can contain one, two, or three heterologous members independently selected from O, S(O)<sub>m</sub>, and N, but not any -S-S-, -O-O-, -S-O-, or -N-S- bonds, and which ring is optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), C<sub>1</sub>-C<sub>4</sub> haloalkyl, nitro, halo, cyano -NR<sub>24</sub>R<sub>25</sub>, -NR<sub>24</sub>COR<sub>25</sub>, -NR<sub>24</sub>CO<sub>2</sub>R<sub>26</sub>, -COR<sub>24</sub>, -OR<sub>25</sub>, -CONR<sub>24</sub>R<sub>25</sub>, CO<sub>2</sub>R<sub>26</sub>, -CO(NOR<sub>22</sub>)R<sub>25</sub>, or -S(O)<sub>m</sub>R<sub>23</sub>; wherein one of said one to four optional substituents (R<sub>27</sub>) can further be selected from -SO<sub>2</sub>NH(C<sub>1</sub>-C<sub>4</sub> alkyl), -SO<sub>2</sub>NH(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -SO<sub>2</sub>NH(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -SO<sub>2</sub>NH(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -SO<sub>2</sub>N(C<sub>1</sub>-C<sub>4</sub> alkyl)(C<sub>1</sub>-C<sub>2</sub> alkyl), -SO<sub>2</sub>NH<sub>2</sub>, -NHSO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), -NHSO<sub>2</sub>(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -NHSO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), and -NHSO<sub>2</sub>(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl); and wherein the alkyl, and alkylene groups of R<sub>5</sub> may independently optionally contain one double or triple bond;

- R<sub>6</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>6</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), or -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), wherein said alkyl and cycloalkyl may optionally be substituted with one hydroxy, methoxy, ethoxy or fluoro group;

or R<sub>6</sub> and R<sub>4</sub> can together form an oxo (=O) group, or can be connected to form a 3-8 membered carbocyclic ring, optionally containing one to three double bonds, and optionally containing one, two, or three heterologous ring members selected from O, SO<sub>m</sub>, N, and NR<sub>12</sub>, but not containing any -O-O-, -S-O-, -S-S-, or -N-S- bonds, and further optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl, wherein said C<sub>1</sub>-C<sub>4</sub> alkyl substituent may optionally contain one double or triple bond;

R<sub>7</sub> is hydrogen, methyl, fluoro, chloro, bromo, iodo, cyano, hydroxy, -O(C<sub>1</sub>-C<sub>2</sub> alkyl), -O(cyclopropyl), -COO(C<sub>1</sub>-C<sub>2</sub> alkyl), -COO(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -OCF<sub>3</sub>, CF<sub>3</sub>, -CH<sub>2</sub>OH, or CH<sub>2</sub>OCH<sub>3</sub>;

R<sub>11</sub> is hydrogen, hydroxy, fluoro, ethoxy, or methoxy;

R<sub>12</sub> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>16</sub> and R<sub>17</sub> are each, independently, hydrogen, hydroxy, methyl, ethyl, methoxy, or ethoxy, except that R<sub>16</sub> and R<sub>17</sub> are not both methoxy or ethoxy;

or R<sub>16</sub> and R<sub>17</sub> together form an oxo (=O) group;

or R<sub>16</sub> and R<sub>17</sub> are connected to form a 3-8 membered carbocyclic ring, optionally containing one to three double bonds, and optionally containing from one to three heterologous ring members selected from O, SO<sub>m</sub>, N, and NR<sub>12</sub>, but not containing any -O-O-, -S-O-, -S-S-, or -N-S- bonds, and further optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl, wherein said C<sub>1</sub>-C<sub>4</sub> alkyl substituent may optionally contain one double or triple bond;

R<sub>22</sub> is independently at each occurrence selected from hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, (C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), and (C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl);

R<sub>23</sub> is independently at each occurrence selected from C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>8</sub> alkoxyalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), aryl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)aryl, piperidine, pyrrolidine, piperazine, N-methylpiperazine, morpholine, and thiomorpholine;

R<sub>24</sub> and R<sub>25</sub> are independently at each occurrence selected from hydrogen, -C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, especially CF<sub>3</sub>, -CHF<sub>2</sub>, CF<sub>2</sub>CF<sub>3</sub>, or CH<sub>2</sub>CF<sub>3</sub>, -(C<sub>1</sub>-C<sub>4</sub> alkylene)OH, -(C<sub>1</sub>-C<sub>4</sub> alkylene)-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), -(C<sub>1</sub>-C<sub>4</sub> alkylene)-O-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>3</sub>-C<sub>8</sub> cycloalkyl), -C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl, -(C<sub>1</sub>-C<sub>4</sub> alkylene)(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), -(C<sub>3</sub>-C<sub>8</sub> cycloalkylene)(C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl), aryl, and -(C<sub>1</sub>-C<sub>4</sub> alkylene)(aryl), wherein the -C<sub>4</sub>-C<sub>8</sub> heterocycloalkyl groups can each independently optionally be substituted with aryl, CH<sub>2</sub>-aryl, or C<sub>1</sub>-C<sub>4</sub> alkyl, and can optionally contain one or two double or triple bonds; or, when R<sub>24</sub> and R<sub>25</sub> are as NR<sub>24</sub>R<sub>25</sub>, -C(O)NR<sub>24</sub>R<sub>25</sub>, -(C<sub>1</sub>-C<sub>4</sub> alkylene)NR<sub>24</sub>R<sub>25</sub>, or -NHCONR<sub>24</sub>R<sub>25</sub>, then NR<sub>24</sub>R<sub>25</sub> may further optionally form a 4 to 8 membered heterocyclic ring optionally containing one or two further hetero members independently selected from S(O)<sub>m</sub>, oxygen, nitrogen, and NR<sub>12</sub>, and optionally containing from one to three double bonds;

R<sub>26</sub>?

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

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PRIORITY APPLN. INFO.: US 2000-196698P P 20000413

OTHER SOURCE(S): MARPAT 135:339292

AB This invention is directed to pharmaceutical compns. comprising ~~corticotropin releasing factor antagonist~~ and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

IT 175139-32-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

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ACCESSION NUMBER: 2001:338070 CAPLUS

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TITLE: Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X

INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1999-162340P P 19991029

OTHER SOURCE(S): MARPAT 134:336224

AB Compns. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

IT 175139-32-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

L29 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:185043 CAPLUS

DOCUMENT NUMBER: 134:217215

TITLE: Use of CRF antagonists and related compositions for modifying circadian rhythm and treatment of depression and other conditions

INVENTOR(S): Chen, Yuhpyng Liang

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 29 pp.

ACCESSION NUMBER: 2000:705040 CAPLUS  
DOCUMENT NUMBER: 133:276371  
TITLE: Use of corticotropin releasing factor (CRF)  
antagonists to prevent sudden death  
INVENTOR(S): Fossa, Anthony Andrea  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: Eur. Pat. Appl., 10 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1040831	A2	20001004	EP 2000-302253	20000320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2303577	AA	20001002	CA 2000-2303577	20000331
JP 2000302693	A2	20001031	JP 2000-100606	20000403
PRIORITY APPLN. INFO.:		US 1999-127659P P 19990402		
OTHER SOURCE(S):		MARPAT 133:276371		
AB	A method of preventing sudden death which comprises administering to a mammal, including a human, a therapeutically effective amt. of a corticotropin releasing factor antagonist. The compds. are pyri(mi)dine or pyrazolopyri(mi)dine compds.			
IT	175139-32-9 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (as CRF antagonist; corticotropin releasing factor (CRF) antagonists to prevent sudden death)			

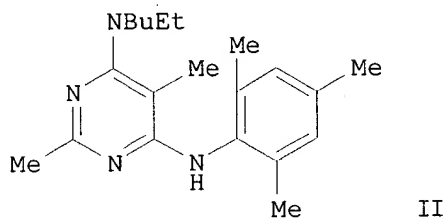
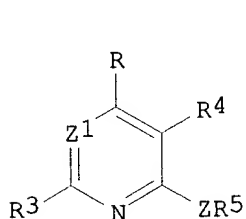
L29 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:413979 CAPLUS  
DOCUMENT NUMBER: 127:29112  
TITLE: New uses for heterocyclic corticotropin-releasing factor (CRF) antagonists in treating cardiovascular diseases, osteoporosis, ulcers, and other disorders  
INVENTOR(S): Chen, Yuhpyng Liang; Fossa, Anthony Andrea  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: Eur. Pat. Appl., 15 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 773023	A1	19970514	EP 1996-307977	19961104
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2189830	AA	19970509	CA 1996-2189830	19961107
US 2001000340	A1	20010419	US 2000-735841	20001213
PRIORITY APPLN. INFO.:		US 1995-6333P P 19951108 US 1996-741066 A3 19961030		
OTHER SOURCE(S):		MARPAT 127:29112		
GI				

JP 09507249	T2	19970722	JP 1995-500615	19950606
JP 2000001434	A2	20000107	JP 1999-162425	19950606
AT 196295	E	20000915	AT 1995-918715	19950606
ES 2150567	T3	20001201	ES 1995-918715	19950606
JP 3193055	B2	20010730	JP 1996-500615	19950606
BR 9502708	A	19960430	BR 1995-2708	19950607
ZA 9504677	A	19961209	ZA 1995-4677	19950607
FI 9604894	A	19961205	FI 1996-4894	19961205
NO 9605237	A	19970206	NO 1996-5237	19961206
<del>US 5962479</del>	<del>A</del>	<del>19991005</del>	US 1996-765110	19961206
<del>JP 11246411</del>	<del>A2</del>	<del>19990914</del>	JP 1998-343077	19981202
JP 3223169	B2	20011029		
CN 1246475	A	20000308	CN 1999-106922	19990521
NO 2000002391	A	20000508	NO 2000-2391	20000508
PRIORITY APPLN. INFO.:			US 1994-255514	A 19940608
			JP 1995-500615	A3 19950606
			JP 1996-500615	A3 19950606
			WO 1995-IB439	W 19950606
			NO 1996-5237	A 19961206

OTHER SOURCE(S): MARPAT 124:261062  
GI



AB Title compds. [I; R = NR1R2, CHR1R2, OCHR1R2, etc.; R1 = (un)substituted alkyl; R2 = (cyclo)alkyl, (hetero)aryl, etc.; R3 = halo, cyano, Me, Et, OMe, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = (hetero)aryl; Z = O, CH2, (alkyl)imino, etc.; Z1 = CR7 or N; R7 = H, halo, Me, alkoxy(carbonyl), etc.; R4ZR5 = (un)substituted CH2CH2CHR5, -CH2CH2NR5, -NHCONR5, -N:CHGNR5, etc.; G = H, OMe, Me, etc.] were prepd. Thus, 2,5-dimethyl-4,6-dichloropyrimidine was aminated by BuNHET and the product aminated by 2,4,6-Me3C6H2NH2 to give title compd. II. Binding activities for I, expressed as IC50 values, generally range from about 0.5nM to about 10.mu.M (sic).

IT 175139-32-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine and pyrimidine derivs. as ACTH releasing factor antagonists)

L29 ANSWER 9 OF 10 USPATFULL

ACCESSION NUMBER: 2001:205880 USPATFULL  
TITLE: Combinations of corticotropin releasing factor antagonists and growth hormone secretagogues  
INVENTOR(S): Fossa, Anthony A., Mystic, CT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001041673	A1	20011115
APPLICATION INFO.:	US 2001-834477	A1	20010413 (9)

NUMBER DATE

FILE 'CAOLD' ENTERED AT 14:01:51 ON 25 APR 2002  
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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              OR C3N2/EAS) AND NR>2
L8          37745 SEA FILE=REGISTRY SUB=L6 SSS FUL L3
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L19         59 SEA FILE=REGISTRY SUB=L8 SSS FUL L9
L20         34 SEA FILE=REGISTRY ABB=ON  C23H34N4/MF
L21         7 SEA FILE=REGISTRY ABB=ON  (C23H34N4.2CH3O4S/MF OR C23H34N4.2CLH
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L22         1 SEA FILE=REGISTRY ABB=ON  (L21 OR L20) AND L19
L25         0 SEA FILE=CAOLD ABB=ON  L22
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>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<

>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

L3 STR  
L6 902397 SEA FILE=REGISTRY ABB=ON (C5N/EAS OR C4N2/EAS) AND (C4N/EAS  
OR C3N2/EAS) AND NR>2  
L8 37745 SEA FILE=REGISTRY SUB=L6 SSS FUL L3  
L9 STR  
L19 59 SEA FILE=REGISTRY SUB=L8 SSS FUL L9  
L27 4 SEA FILE=USPATFULL ABB=ON L19

L31 1 L27 NOT L24 *previously printed (species)*

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FILE 'CAPLUS' ENTERED AT 14:02:41 ON 25 APR 2002  
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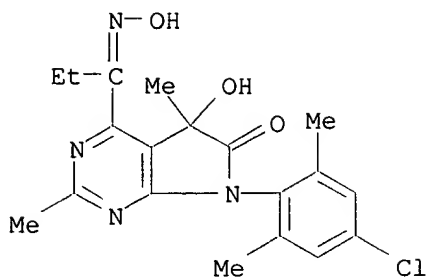
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PROCESSING COMPLETED FOR L31  
L32 20 DUP REM L30 L31 (0 DUPLICATES REMOVED)  
ANSWERS '1-19' FROM FILE CAPLUS  
ANSWER '20' FROM FILE USPATFULL

=> d ibib abs hitstr 132 1-20; fil cao; d que nos 128

L32 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:396488 CAPLUS  
DOCUMENT NUMBER: 135:5624  
TITLE: Preparation of substituted heterocyclic derivatives as  
CRF antagonists  
INVENTOR(S): Chen, Yuhpyng Liang  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: Eur. Pat. Appl., 34 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

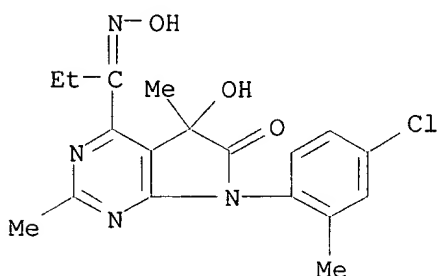
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1103553	A2	20010530	EP 2000-310357	20001122
EP 1103553	A3	20010801		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,



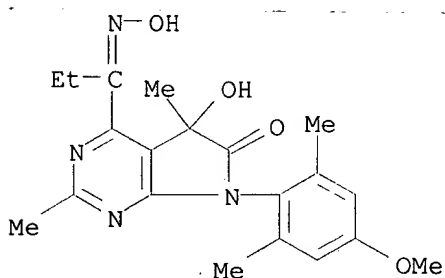
RN 342432-22-8 CAPLUS

CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 7-(4-chloro-2-methylphenyl)-5,7-dihydro-5-hydroxy-4-[1-(hydroxyimino)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



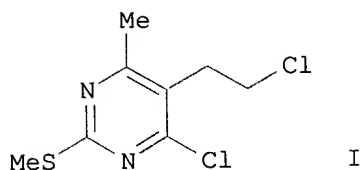
RN 342432-23-9 CAPLUS

CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 5,7-dihydro-5-hydroxy-4-[1-(hydroxyimino)propyl]-7-(4-methoxy-2,6-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 342432-24-0 CAPLUS

CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 7-(2,4-dichlorophenyl)-5,7-dihydro-5-hydroxy-4-[1-(hydroxyimino)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



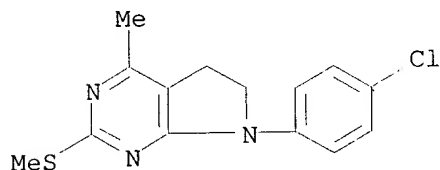
AB Several new pyrimidines, furo[2,3-d]pyrimidines, thieno[2,3-d]pyrimidines, pyrrolo[2,3-d]pyrimidines, triazolo[4,3-a]pyrimidines and tetrazolo[1,5-a]pyrimidine were prepd. from known 5-(2-hydroxyethyl)-6-methyl-2-thiouracil. One of the compds. thus prepd., 4-chloro-5-(2-chloroethyl)-2-methylthio-6-methylpyrimidine (I) exhibited weak antitumor activity in vitro.

IT 178268-51-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antineoplastic activity of fused pyrimidine derivs.)

RN 178268-51-4 CAPLUS

CN 5H-Pyrrolo[2,3-d]pyrimidine, 7-(4-chlorophenyl)-6,7-dihydro-4-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

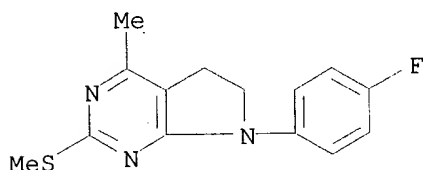


IT 178268-48-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and antineoplastic activity of fused pyrimidine derivs.)

RN 178268-48-9 CAPLUS

CN 5H-Pyrrolo[2,3-d]pyrimidine, 7-(4-fluorophenyl)-6,7-dihydro-4-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



L32 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:448601 CAPLUS

DOCUMENT NUMBER: 117:48601

TITLE: Preparation of 4-imino-7-phenylpyrrolo[2,3-d]pyrimidines as cardiovascular agents

INVENTOR(S): Hargreaves, Rodney Brian

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK

SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

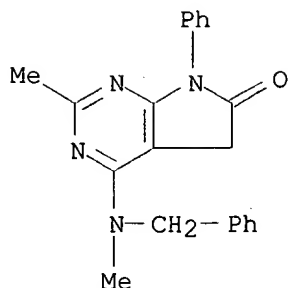
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

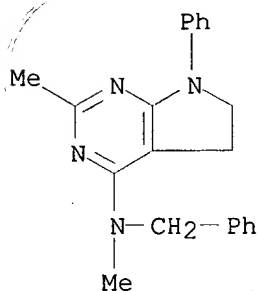
Searched by Barb O'Bryen, STIC 308-4291

OK for 09/583,256



RN 142228-55-5 CAPLUS

CN 5H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6,7-dihydro-N,2-dimethyl-7-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1990:35716 CAPLUS

DOCUMENT NUMBER: 112:35716

TITLE: Synthesis and reactions of 2-amino-1-aryl-5-oxo-.DELTA.2-pyrroline-3-carbonitriles

AUTHOR(S): Schaefer, Harry; Gewald, Karl

CORPORATE SOURCE: Sek. Chem., Tech. Univ. Dresden, Dresden, DDR-8027, Ger. Dem. Rep.

SOURCE: Monatsh. Chem. (1989), 120(4), 315-22

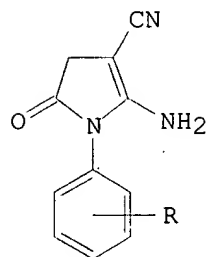
CODEN: MOCMB7; ISSN: 0026-9247

DOCUMENT TYPE: Journal

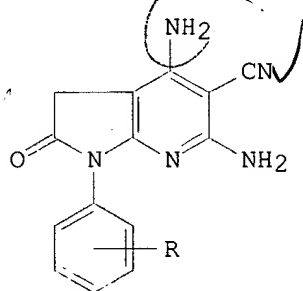
LANGUAGE: German

OTHER SOURCE(S): CASREACT 112:35716

GI



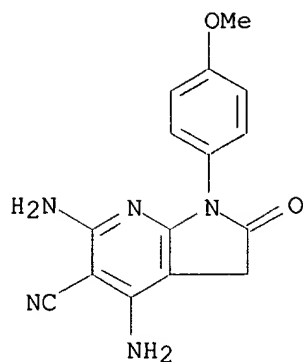
II



III

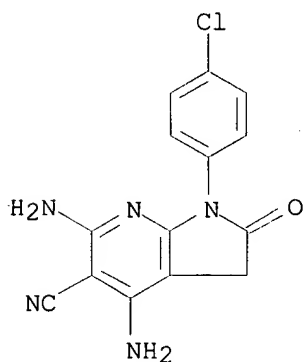
AB Cyclocondensation reaction of  $\text{CH}_2(\text{CN})_2$  with  $\text{RC}_6\text{H}_4\text{NHCOCH}_2\text{Cl}$  (I; R = H, 4-Me, 4-MeO, 4-Cl) in EtOH contg.  $\text{K}_2\text{CO}_3$  yields title compds. II (same R), whereas 4,6-diamino-1-aryl-2-oxo-2,3-dihydropyrrolo[2,3-b]pyridin-5-

103 hardly  $\text{CH}_2$  vs H  
 $\text{N}(\text{H})_2$   
 $\text{N}(\text{H})_2$



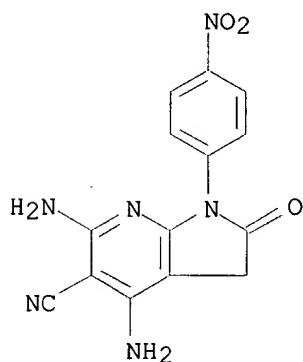
RN 124476-84-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(4-chlorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



RN 124476-85-3 CAPLUS

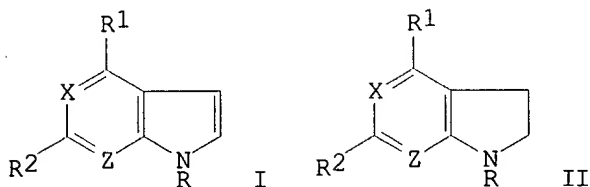
CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-1-(4-nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)



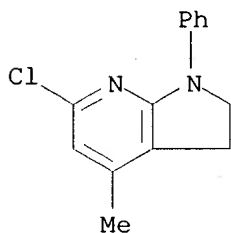
RN 124476-86-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carbonitrile, 4,6-diamino-1-(2-cyanophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 91:5132  
TITLE: Azaindole derivatives. 57. Dehydrogenation of substituted 5- and 7-azaindolines activated by manganese dioxide  
AUTHOR(S): Azimov, V. A.; Krasnokutskaya, D. M.; Palant, I. N.; Yakhontov, L. N.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR  
SOURCE: Khim. Geterotsikl. Soedin. (1979), (3), 375-8  
CODEN: KGSSAQ; ISSN: 0453-8234  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

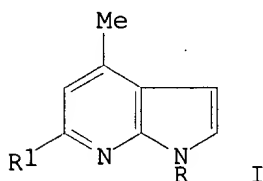


AB Azaindoles I (X = CH, N; Z = N, CH, CCN; R = Ph, H, Ac, PhCH<sub>2</sub>; R<sub>1</sub> = Me, H, R<sub>2</sub> = Cl, OH, H, Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O) were prepd. by dehydrogenation of the corresponding II with activated MnO<sub>2</sub>. Oxidn.-redn. potentials were detd.  
IT 5912-20-9  
RL: RCT (Reactant)  
(dehydrogenation of, by activated manganese dioxide)  
RN 5912-20-9 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1980:6855 CAPLUS  
DOCUMENT NUMBER: 92:6855  
TITLE: Synthesis and study of the biological activity of indole nucleosides. IV. Synthesis of 1- $\alpha$ -L-arabinopyranosides of substituted indoles and 7-azaindoles  
AUTHOR(S): Mukhanov, V. I.; Sokolova, T. N.; Nikolaeva, T. G.; Dobrynin, Ya. V.; Preobrazhenskaya, M. N.  
CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR  
SOURCE: Khim.-Farm. Zh. (1979), 13(6), 47-57  
CODEN: KHFZAN; ISSN: 0023-1134  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

ACCESSION NUMBER: 1978:89552 CAPLUS  
DOCUMENT NUMBER: 88:89552  
TITLE: Azaindole derivatives. LI. Synthesis of substituted  
(7-azaindolin-6-yl)diphenylcarbinols  
AUTHOR(S): Krasnokutskaya, D. M.; Morkovnik, A. S.; Tertov, B.  
A.; Yakhontov, L. N.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim. Farm. Inst., Moscow, USSR  
SOURCE: Khim. Geterotsikl. Soedin. (1977), (11), 1527-30  
CODEN: KGSSAQ  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

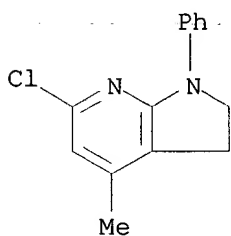


AB The title compds. I (R = Bu, Ph, H, R1 = Ph2COH) were obtained in 12-49% yields by treatment of I (R1 = Cl) with Li naphthalide to give a Li deriv. which was treated with Ph2CO. Addnl. obtained were 24-97% I (R = Bu, Ph, H, R1 = H), and 73% I (R = Bu, R1 = Ph2CH).

IT **5912-20-9**  
RL: RCT (Reactant)  
(reaction of, with lithium naphthalide and benzophenone)

RN 5912-20-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI; 7CI, 8CI, 9CI) (CA INDEX NAME)



L32 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1978:7279 CAPLUS  
DOCUMENT NUMBER: 88:7279  
TITLE: Synthesis of 2,3-dioxo-2,3-dihydro-4-methyl-6-chloro-1H-pyrrolo[2,3-b]pyridine and its 1-.alpha.-L-arabinopyranoside  
AUTHOR(S): Ektova, L. V.; Miniker, T. D.; Yartseva, I. V.; Preobrazhenskaya, M. N.  
CORPORATE SOURCE: Onkol. Nauchn. Tsentr., Moscow, USSR  
SOURCE: Khim. Geterotsikl. Soedin. (1977), (8), 1083-6  
CODEN: KGSSAQ  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

L32 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:10443 CAPLUS

DOCUMENT NUMBER: 84:10443

TITLE: Azaindole derivatives. XLIX. Mechanism of the polarographic oxidation of azaindolines

AUTHOR(S): Palant, I. N.; Krasnokutskaya, D. M.; Turchin, K. F.; Anisimova, O. S.; Vainshtein, Yu. I.; Yakhontov, L. N.

CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im.

SOURCE: Ordzhonikidze, Moscow, USSR

Khim. Geterotsikl. Soedin. (1975), (9), 1277-83

CODEN: KGSSAQ

DOCUMENT TYPE: Journal

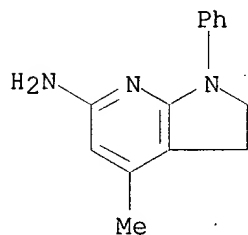
LANGUAGE: Russian

AB A mechanism is proposed for the polarog. oxidn. of azaindolines, including the electrochem. and chem. stages. The preparative electrolysis was accomplished of 1-phenyl-4-methyl-6-morpholino-7-azaindoline [27803-36-7], leading to the formation of the corresponding 7-azaindole [27803-39-0] and (7-azaindoliny-5)-7-azaindoline [57266-68-9].

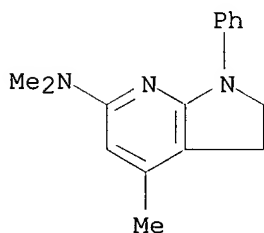
IT 14069-81-9 34600-82-3 34609-82-0

RL: RCT (Reactant)  
(oxidn. of, polarog.)

RN 14069-81-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-4-methyl-1-phenyl- (9CI)  
(CA INDEX NAME)

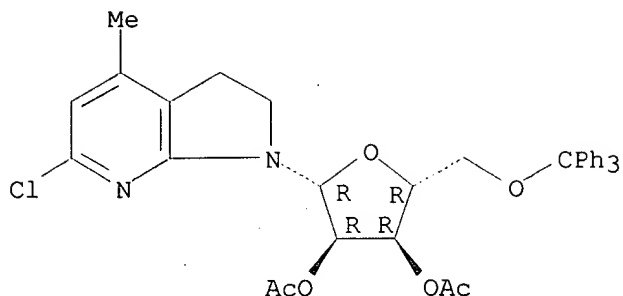
RN 34600-82-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-N,N,4-trimethyl-1-phenyl- (9CI)  
(CA INDEX NAME)

RN 34609-82-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-butyl-2,3-dihydro-4-methyl-1-phenyl- (9CI)  
(CA INDEX NAME)





L32 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1974:425898 CAPLUS

DOCUMENT NUMBER: 81:25898

TITLE: 1-.beta.-D-Glucopyranosides of pyrrolo[2,3-b]pyridines (7-azaindoles)

AUTHOR(S): Preobrazhenskaya, M. N.; Miniker, T. D.; Martynov, V. S.; Yakhontov, L. N.; Kostyuchenko, N. P.; Krasnokutskaya, D. M.

CORPORATE SOURCE: Inst. Eksp. Klin. Onkol., Moscow, USSR

SOURCE: Zh. Org. Khim. (1974), 10(4), 745-50

CODEN: ZORKAE

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

AB 7-Azaindole glucosides (I; R = H, R1 = H, Cl) were obtained in .apprx.70% yield by condensation of the appropriate azaindole with D-glucose in abs. EtOH contg. (NH4)2SO4. Acetylation of I with Ac2O gave 45-68% I; (R = Ac) which were dehydrogenated by dicyanodichlorobenzoquinone to give 50-60% of the corresponding II. Deacetylation with NaOMe gave .apprx.80% II (R = H).

IT 53382-94-8P 53383-12-3P

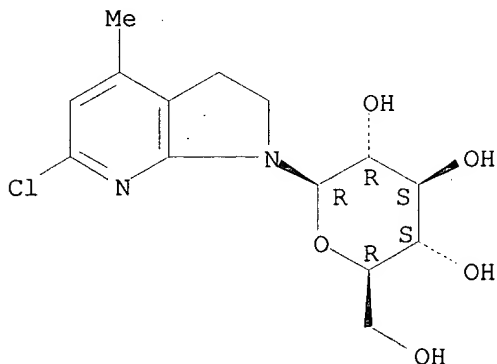
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 53382-94-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-1-.beta.-D-glucopyranosyl-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

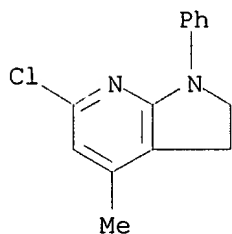


RN 53383-12-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-(2,3,4,6-tetra-O-acetyl-.beta.-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

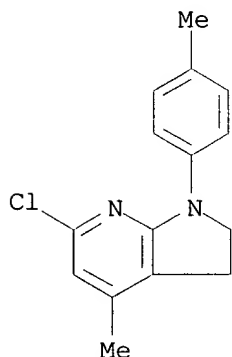
RN 5912-20-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



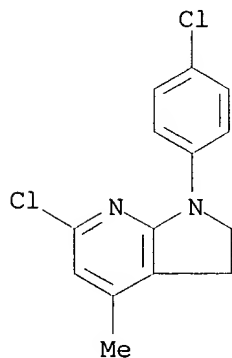
RN 53115-58-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 53115-59-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-1-(4-chlorophenyl)-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)



L32 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2002 ACS

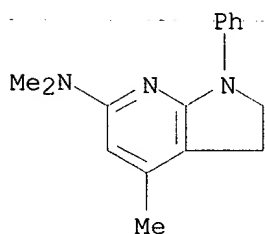
ACCESSION NUMBER: 1975:30679 CAPLUS

DOCUMENT NUMBER: 82:30679

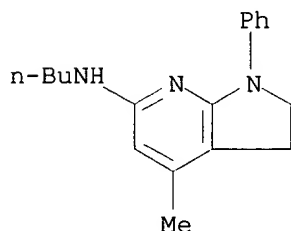
TITLE: Polarographic oxidation of 6-amino-7-azaindoline derivatives

AUTHOR(S): Palunt, I. N.; Vainshtein, Yu. I.; Yakhontov, L. N.;

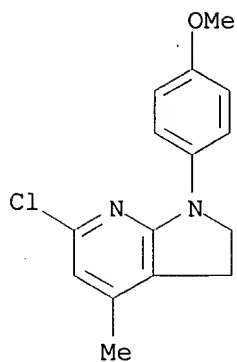
ACCESSION NUMBER: 1972:25132 CAPLUS  
DOCUMENT NUMBER: 76:25132  
TITLE: Azaindole derivatives. XXXIX. Reactions of  
6-chloro-7-azaindolines with amines  
AUTHOR(S): Yakhontov, L. N.; Krasnokutskaya, D. M.; Akalaev, A.  
N.; Palant, I. N.; Vainshtein, Yu. I.  
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im.  
Ordzhonikidze, Moscow, USSR  
SOURCE: Khim. Geterotsikl. Soedin. (1971), 7(6), 789-94  
CODEN: KGSSAQ  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI For diagram(s), see printed CA Issue.  
AB Investigation of the reaction of the title compds. (I) (X = Cl) with  
primary and secondary aliphatic, alkyl aromatic, and aromatic amines  
indicate that in addn. to the normal nucleophilic substitution with  
formation of I (X = NRR1) there are some redox processes with formation of  
the dehalogenation and oxidn. products I (X = H) and II (X = NRR1), resp.  
The following I (X = NRR1) and II (X = NRR1) were prepd.: (RR1 =) (CH2)4;  
(RR1 =) (CH2)5; (R = R1 =) O(CH2CH2)2; (RR1 =) = MeN(CH2CH2)2; R = R1 = Me;  
R = R1 = Bu; R = Bu, R1 = H; R = Ph, R1 = Et; and R = R1 = CH2Ph. With  
secondary cyclic amines, the yield of the nucleophilic substitution  
products depends on the basicity of the amine only, whereas in the case of  
primary and secondary aliphatic and aromatic amines it is affected by  
steric hindrances. Polarog. investigations show all I (X = NRR1) have  
approx. the same oxidizability to II (half-wave potentials E1/2 =  
0.29-0.43 V), greater than that of I (X = Cl) (E1/2 = 0.91 V. This  
indicates that E1/2 does not det. the course of the reaction.  
IT **34600-82-3P 34609-82-0P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 34600-82-3 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-N,N,4-trimethyl-1-phenyl-  
(9CI) (CA INDEX NAME)



RN 34609-82-0 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, N-butyl-2,3-dihydro-4-methyl-1-phenyl-  
(9CI) (CA INDEX NAME)

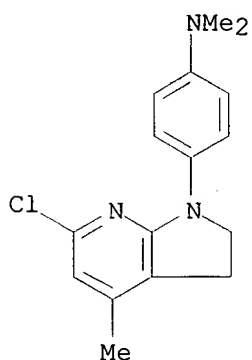


IT **5912-20-9**  
RL: RCT (Reactant)



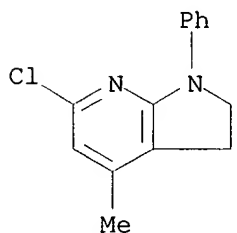
RN 5792-02-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-1-[p-(dimethylamino)phenyl]-2,3-dihydro-4-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 5912-20-9 CAPLUS

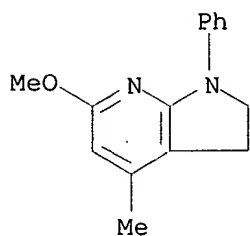
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



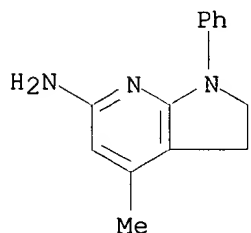
RN 14069-77-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methoxy-4-methyl-1-phenyl- (8CI) (CA INDEX NAME)

RN 14069-77-3 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methoxy-4-methyl-1-phenyl- (8CI)  
(CA INDEX NAME)



RN 14069-81-9 CAPLUS  
CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-4-methyl-1-phenyl- (9CI)  
(CA INDEX NAME)



L32 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1968:8768 CAPLUS

DOCUMENT NUMBER: 68:8768

TITLE: Oxidation of 7-azaindoline derivatives on a platinum rotating disk electrode

AUTHOR(S): Vainshtein, Yu. I.; Palant, I. N.; Yakhontov, L. N.; Krasnokutskaya, D. M.; Rubtsov, M. V.

SOURCE: Tr., Vses. Nauchno-Issled. Inst. Khim. Reakt. Osobo Chist. Khim. Veshchestv (1967), No. 30, 329-32  
CODEN: TKRKAM

DOCUMENT TYPE: Journal

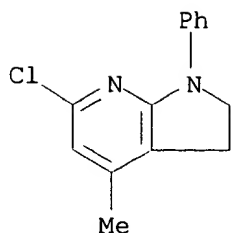
LANGUAGE: Russian

AB A polarographic study was made at 25.degree. of the oxidn. of six 4-methyl-7-azaindoline derivs. (5 .times. 10-4M) at a 3.2-mm.2 Pt rotating-disk electrode in a Britton-Robinson buffer soln. contg. 50% HCONMe2; ionic strength of the soln. was 1. The sweep rate of the potential was 66 mv./min. The 6 derivs. were: 1-phenyl- (I), m. 102-3.degree.; 1-(p-methoxyphenyl)- (II), m. 146-7.degree.; 1-phenyl-6-methoxy- (III), m. 81-2.degree.; 1-phenyl-6-chloro- (IV), m. 116.5-17.degree.; 6-chloro- (V), m. 130.5-1.5.degree.; and 6-methoxy-4-methyl-7-azaindoline (VI), m. 136.degree.. The limiting current for each compd. obeyed the Levich equation for a rotating-disk electrode, thus confirming the diffusional nature of the electrode process. The half-wave potential (E1/2) for each compd. was I 0.88, II 0.67, III 0.62, IV 0.90, V 0.81, and VI 0.60 v. vs. the S. C. E. The electrochem. activity was related to the chem. stability; a compd. with a more pos. value of E1/2 corresponded to a more stable compd. toward oxidn. by chloranil.

IT 5912-20-9 14069-77-3

RL: PROC (Process)  
(polarography of)

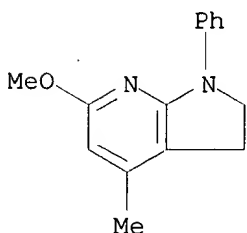
RN 5912-20-9 CAPLUS



IT 14069-77-3

RL: PRP (Properties)  
(spectrum (uv) of)

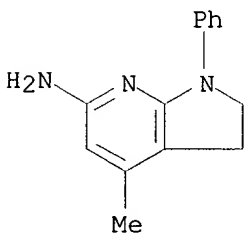
RN 14069-77-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-dihydro-6-methoxy-4-methyl-1-phenyl- (8CI)  
(CA INDEX NAME)

IT 14069-81-9

RL: PEP (Physical, engineering or chemical process); PRP (Properties);  
PROC (Process)  
(tautomerism of, spectrum (uv) and)

RN 14069-81-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-dihydro-4-methyl-1-phenyl- (9CI)  
(CA INDEX NAME)

L32 ANSWER 20 OF 20 USPATFULL

ACCESSION NUMBER: 94:60161 USPATFULL

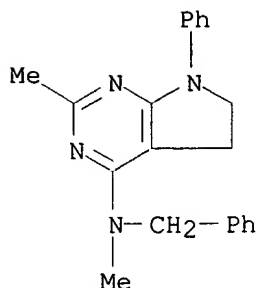
TITLE: Sino-atrial node modulating pyrrolopyrimidines

INVENTOR(S): Hargreaves, Rodney B., Poynton, England

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, England  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5328910		19940712
APPLICATION INFO.:	US 1991-777982		19911017 (7)

NUMBER	DATE



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 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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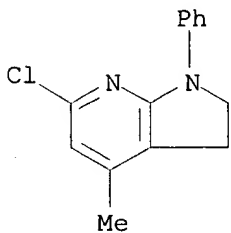
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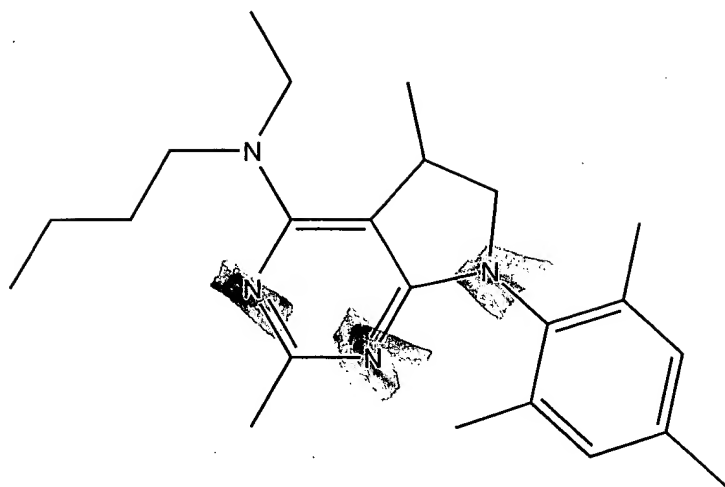
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L28 ANSWER 1 OF 3 CAOLD COPYRIGHT 2002 ACS
ACCESSION NUMBER: CA64:19582f CAOLD
TITLE:          derivs. of 7-azaindole - (XIII) synthesis of
                  1-phenyl-4-methyl-7-azaindoles substituted in the phenyl
                  ring
AUTHOR NAME:    Yakhontov, L. N.; Krasnokutskaya, D. M.; Rubtsov, M. V.
INDEX TERM:     5791-68-4  5791-69-5  5792-01-8
                  5792-02-9  5792-03-0  5792-04-1  5792-05-2
                  5792-06-3  5792-07-4  5792-08-5  5792-09-6  5792-10-9
                  5792-11-0  5792-12-1  5792-13-2  7466-38-8  95771-22-5
IT  5792-01-8  5792-02-9
RN  5792-01-8  CAOLD
CN  1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-1-(4-methoxyphenyl)-4-
    methyl- (9CI) (CA INDEX NAME)
```

ACCESSION NUMBER: CA55:18720h CAOLD  
TITLE: derivs. of 7-azaindole - (I) type of closure of pyrroline  
ring in the reaction of trichlorocollidine with secondary  
amines  
AUTHOR NAME: Yakhontov, L. N.; Rubtsov, M. V.  
INDEX TERM: 5268-29-1 5912-20-9 7085-03-2 14069-73-9  
16498-02-5 34600-84-5 59289-30-4 89491-15-6 99187-60-7  
100614-25-3 101264-01-1 101427-63-8 101889-21-8 101893-18-9  
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IT 5912-20-9  
RN 5912-20-9 CAOLD  
CN 1H-Pyrrolo[2,3-b]pyridine, 6-chloro-2,3-dihydro-4-methyl-1-phenyl- (6CI,  
7CI, 8CI, 9CI) (CA INDEX NAME)



FILE 'HOME' ENTERED AT 14:03:21 ON 25 APR 2002





butyl-[2,5-dimethyl-7-(2,4,6-trimethylphenyl)-6,7-dihydro-5h-pyrrolo[2,3-d]pyrimidin-4-yl]-ethylamine